Claims:

1. An oral contraceptive composition comprising a compound of the formula:

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$$\begin{array}{c|c}
O & O \\
\parallel & \parallel & \\
R-X-OR_1 & \text{or} & R-X-OR_1 \\
\parallel & & OR_5
\end{array}$$

wherein X is P or S;

R is  $C_4$ - $C_{24}$  alkyl,  $C_4$ - $C_{24}$  alkenyl,  $C_4$ - $C_{24}$  alkoxy,

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$$\begin{array}{c}
O \\
\parallel \\
R_2CO(CH_2)_n
\end{array}$$
,  $R_3(OCH_2CH_2)_mO$ — or  $R_3YCCH_2C$ —
$$R_4$$

R<sub>1</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, a pharmaceutically acceptable cation or

15 — C(CH<sub>2</sub>)<sub>1</sub>CH<sub>3</sub>

wherein L is 4 to 24;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, phenyl or a pharmaceutically acceptable cation,

 $R_2$  is  $C_4$ - $C_{24}$  alkyl or  $C_4$ - $C_{24}$  alkoxy, n is 0 to 4;

 $R_3$  is  $C_4$ - $C_{24}$  alkyl, m is 3 to 10;

R<sub>4</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl or COOR<sub>6</sub>;

20  $R_6$  is H or  $C_1$ - $C_{13}$  alkyl; and

Y = O or NH and a pharmaceutically acceptable carrier suitable for oral delivery.

2. The composition of claim 1 wherein the composition is formulated as tablet or capsule.

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- 3. The composition of claim 1 wherein the composition is formulated as a gelcap or suspension.
  - 4. The composition of claim 1 wherein the compound has the general
- 5 formula

$$\begin{array}{c}
O \\
\parallel \\
R - S - OR_1 \\
\parallel \\
O
\end{array}$$

wherein R is C<sub>4</sub>-C<sub>24</sub> alkyl, C<sub>4</sub>-C<sub>24</sub> alkoxy, or

and Y is O.

5. The composition of claim 4 wherein

R is  $C_{10}$ - $C_{18}$  alkyl;

15 R<sub>1</sub> is a pharmaceutically acceptable cation or

and L is 20.

6. The composition of claim 4 wherein R is

R<sub>3</sub> is C<sub>4</sub>-C<sub>24</sub> alkyl; and

R<sub>1</sub> is a pharmaceutically acceptable cation.

- 7. The composition of claim 1 wherein the compound has the general
- 25 formula

wherein R is C<sub>4</sub>-C<sub>24</sub> alkyl or C<sub>4</sub>-C<sub>24</sub> alkoxy; and

R<sub>1</sub> and R<sub>5</sub> are independently H or a pharmaceutically acceptable cation.

- 8. The composition of claim 7 wherein
- 5 R is  $C_4$ - $C_{24}$  alkoxy;

R<sub>1</sub> is H or a pharmaceutically acceptable cation; and R<sub>5</sub> is H.

- 9. The contraceptive composition of claim 1 wherein the compound has
- 10 the general formula:

wherein X is P or S;

M is a cation; and

R is  $C_4$ - $C_{24}$  alkyl,  $C_4$ - $C_{24}$  alkenyl,  $C_4$ - $C_{24}$  alkoxy,

$$R_3$$
OCC $H_2$ C $H_2$  or  $R_2$ CO(C $H_2$ ) $n$  —

20 wherein n = 2, 3 or 4;

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$$R_2 = C_9 - C_{13}$$
 alkyl; and

$$R_3 = C_4 - C_{24}$$
 alkyl.

- 10. The composition of claim 9 wherein X is S.
- 11. The composition of claim 9 wherein the composition is formulated as tablet or capsule.

- 12. The composition of claim 9 wherein the composition is formulated as a Gelcap or suspension.
  - 13. The composition of claim 10 wherein the cation is sodium.

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14. A contraceptive transdermal patch or implant, wherein said patch or implant comprises a composition comprising a compound of the general formula:

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wherein X is P or S;

R is  $C_4$ - $C_{24}$  alkyl,  $C_4$ - $C_{24}$  alkenyl,  $C_4$ - $C_{24}$  alkoxy,

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$$R_{2}CO(CH_{2})_{n}$$
 ,  $R_{3}(OCH_{2}CH_{2})_{m}O$  or  $R_{3}YCCH_{2}C$   $R_{4}$ 

 $R_1$  is H,  $C_1$ - $C_4$  alkyl, a pharmaceutically acceptable cation

or

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wherein L is 4 to 24;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, phenyl or a pharmaceutically acceptable cation,

R<sub>2</sub> is C<sub>4</sub>-C<sub>24</sub> alkyl or C<sub>4</sub>-C<sub>24</sub> alkoxy, n is 0 to 4;

 $R_3$  is  $C_4$ - $C_{24}$  alkyl, m is 3 to 10;

 $R_4$  is H,  $C_1$ - $C_4$  alkyl or  $COOR_6$ ;

 $R_6$  is  $C_1$ - $C_{13}$  alkyl; and

Y = O or NH.

A method of inhibiting the capacitation of sperm cells, said method comprising the steps of contacting the sperm cells with a composition comprising a compound of the general formula:

wherein X is P or S;

R is  $C_4$ - $C_{24}$  alkyl,  $C_4$ - $C_{24}$  alkenyl,  $C_4$ - $C_{24}$  alkoxy,

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$$R_2$$
CO(CH<sub>2</sub>)<sub>n</sub> — ,  $R_3$ (OCH<sub>2</sub>CH<sub>2</sub>)<sub>m</sub>O — or  $R_3$ YCCH<sub>2</sub>C —  $R_4$ 

R<sub>1</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, a pharmaceutically acceptable cation or

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wherein L is 4 to 24;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, phenyl or a pharmaceutically acceptable cation,

 $R_2$  is  $C_4$ - $C_{24}$  alkyl or  $C_4$ - $C_{24}$  alkoxy, n is 0 to 4;

R<sub>3</sub> is C<sub>4</sub>-C<sub>24</sub> alkyl, m is 3 to 10;

20  $R_4$  is H,  $C_1$ - $C_4$  alkyl or COOR<sub>6</sub>;

R<sub>6</sub> is C<sub>1</sub>-C<sub>13</sub> alkyl; and

Y = O or NH and a pharmaceutically acceptable carrier.

- 15. The method of claim 14 wherein the step of contacting the sperm cells25 with the compound occurs in vivo in the male.
  - 16. A method for inhibiting conception, comprising

administering to a male an effective amount of a pharmaceutically acceptable cationic salt of a compound of the general formula:

wherein X is P or S;

R is  $C_4$ - $C_{24}$  alkyl,  $C_4$ - $C_{24}$  alkenyl,  $C_4$ - $C_{24}$  alkoxy,

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$$R_2$$
CO(CH<sub>2</sub>)<sub>n</sub> — ,  $R_3$ (OCH<sub>2</sub>CH<sub>2</sub>)<sub>m</sub>O — or  $R_3$ YCCH<sub>2</sub>C —  $R_4$ 

R<sub>1</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, a pharmaceutically acceptable cation or

15

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wherein L is 4 to 24;

R<sub>5</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl, phenyl or a pharmaceutically acceptable cation,

R<sub>2</sub> is C<sub>4</sub>-C<sub>24</sub> alkyl or C<sub>4</sub>-C<sub>24</sub> alkoxy, n is 0 to 4;

 $R_3$  is  $C_4$ - $C_{24}$  alkyl, m is 3 to 10;

R<sub>4</sub> is H, C<sub>1</sub>-C<sub>4</sub> alkyl or COOR<sub>6</sub>;

 $R_6$  is  $C_1$ - $C_{13}$  alkyl;

Y = O or NH.

17. The method of claim 16 wherein the composition is administered25 orally in a daily dosage form.

18. The method of claim 16 wherein the composition is administered transdermally or subcutaneously to maintain constant systemic levels for an extended time period.